PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PPD 50698/WO	**FOR FURTHER ACTION**	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/GB 03/02424	International filing date *(day/month/year)* 04.06.2003	Priority date *(day/month/year)* 14.06.2002
International Patent Classification (IPC) or both national classification and IPC C07D471/10		
Applicant SYNGENTA LIMITED et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 4 sheets, including this cover sheet.

   ☒ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of 3 sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the opinion
   - II ☐ Priority
   - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - VI ☐ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☐ Certain observations on the international application

Date of submission of the demand	Date of completion of this report
23.12.2003	26.07.2004
Name and mailing address of the international preliminary examining authority: European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Ousset, J-B Telephone No. +49 89 2399-8271

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/GB 03/02424

I. Basis of the report

1. With regard to the **elements** of the international application *(Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*:

Description, Pages

2-129	as originally filed
1	received on 23.06.2004 with letter of 23.06.2004

Claims, Numbers

1-8, 9 (part)	as originally filed
9 (part), 10	received on 23.06.2004 with letter of 23.06.2004

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

   These elements were available or furnished to this Authority in the following language: , which is:

   ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).

   ☐ the language of publication of the international application (under Rule 48.3(b)).

   ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

   ☐ contained in the international application in written form.

   ☐ filed together with the international application in computer readable form.

   ☐ furnished subsequently to this Authority in written form.

   ☐ furnished subsequently to this Authority in computer readable form.

   ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

   ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

   ☐ the description, pages:

   ☐ the claims, Nos.:

   ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

   (Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-10
	No:	Claims	
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1-10
Industrial applicability (IA)	Yes:	Claims	1-10
	No:	Claims	

2. Citations and explanations

see separate sheet

SECTION V

1). Relevant prior art is represented by:

D1: WO 95 01358 A (BAYER) 12 January 1995 (1995-01-12)
D2: DUTTA, ALOKE K. ET AL: 'Potent and Selective Ligands for the Dopamine Transporter (DAT): Structure-Activity Relationship Studies of Novel 4-[2-(Diphenylmethoxy)ethyl]-1-(3-phenylpr opyl)piperidine Analogs' JOURNAL OF MEDICINAL CHEMISTRY (1998), 41(5), 699-705 , XP002254513

2). The claimed matter is novel vis-à-vis D1, since the compounds disclosed in this document are not indole derivatives.
Claim 9 was rendered novel by the introduction of a disclaimer.

Novelty is thus acknowledged.

3). D1 relates to compounds having the same properties as the compounds claimed in the present application and is therefore the closest prior art.

The problem underlying the current application appears to be the provision of further spiro derivatives useful for combatting insects.

The data of the description show that this problem has been solved.

The presence of unlimited terms in the wording of the claims amounts to assert that an unlimited number of compounds are solution of the given problem.
In view of the content of the description, it is inherently impossible that an unlimited number of compounds retain the insecticidal activity.

The applicant supports the view that the current invention is a "pioneer invention". Although this assertion is purely speculative, since not demonstrated, it remains that all or substantially all the claimed alternatives must represent a solution to the given problem. This fact has not yet been made credible.

Inventive step is not acknowledged.

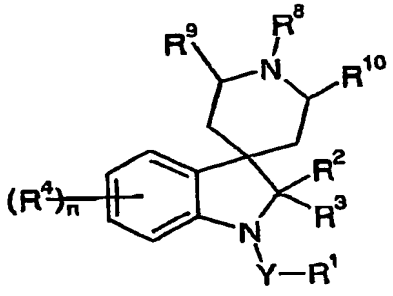
5). There is no objection with regard to industrial applicability.

SPIROINDOLINEPIPERIDINE DERIVATIVES

The present invention relates to spiroindoline derivatives, to processes for preparing them, to insecticidal, acaricidal, molluscicidal and nematicidal compositions comprising them and to methods of using them to combat and control insect, acarine, mollusc and nematode pests.

Spiroindoline derivatives with pharmaceutical properties are disclosed in for example US5536716, US4307235, WO9825605, WO9429309, WO9828297 and WO9964002. Synthetic routes to selected compounds with pharmaceutical properties are described in Proc. Natl. Acad. Sci. USA (1995), 92, 7001, Tetrahedron (1997), 53, 10983 and Tetrahedron Letters (1997), 38, 1497. It has now surprisingly been found that certain spiroindolines have insecticidal properties.

The present invention therefore provides a method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying to a pest, to a locus of a pest, or to a plant susceptible to attack by a pest an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I):



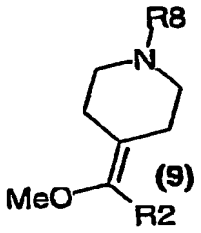
(I)

wherein Y is a single bond, C=O, C=S or S(O)q where q is 0, 1 or 2; R1 is hydrogen, optionally substituted alkyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, aminocarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted heterocyclyloxy, cyano, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, formyl, optionally substituted heterocyclyl, optionally

where R^8 is phenyl(C_{2-4})alkenyl (wherein the phenyl group is substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino, provided the substituent is not *para*-fluoro); or a compound of formula (10)

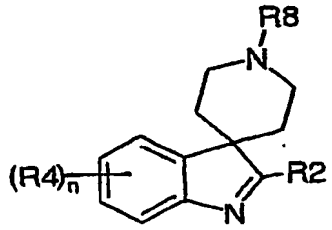
(10)

where R^8 is phenyl(C_{2-4})alkenyl (wherein the phenyl group is substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino, provided the substituent is not *para*-fluoro); or a compound of formula (9)



(9)

where R^2 is as defined for formula (I) in claim 1 and R^8 is phenyl(C_{2-4})alkenyl (wherein the phenyl group is optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino); or a compound of formula (9A)



(9A)

where R^2 and where $(R^4)n$ are as defined for formula (I) in claim 1 and R^8 is phenyl(C_{2-4})alkenyl (wherein the phenyl group is optionally substituted by halogen,

C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino).

10 An insecticidal acaricidal and nematicidal composition comprising an insecticidally, acaricidally or nematicidally effective amount of a compound of formula I as defined in claim 1.